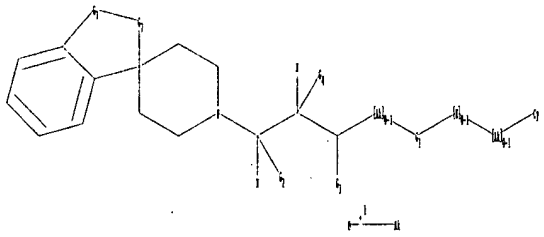
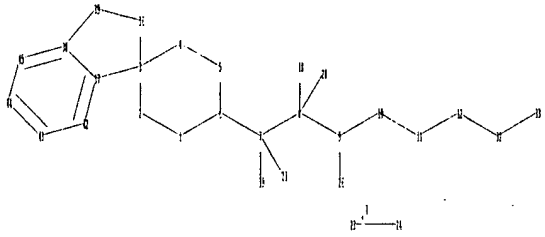
10528329 | 12C





chain nodes :
7 8 9 10 11 12 18 19 21 23 24 26 28 32 33
ring nodes :
1 2 3 4 5 6 36 37 38 39 42 43 44 45
chain bonds :
6-7 7-8 7-19 7-21 8-9 8-18 8-28 9-10 9-26 10-11 11-12 12-32 23-24 32-33

ring bonds :
1-2 1-6 2-3 3-4 3-36 3-37 4-5 5-6 36-39 37-38 37-42 38-39 38-45 42-43
43-44 44-45
exact/norm bonds :
3-36 3-37 6-7 7-8 7-19 7-21 8-9 8-18 8-28 9-10 9-26 10-11 11-12 12-32
23-24 32-33 36-39 38-39
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6
normalized bonds :
37-38 37-42 38-45 42-43 43-44 44-45

G1:O,N,C

G2:H,Ak

G3:H,OH,N,X,Ak,[*1]

G4:H,CH3

G5:CF3,X,Ak,O,H

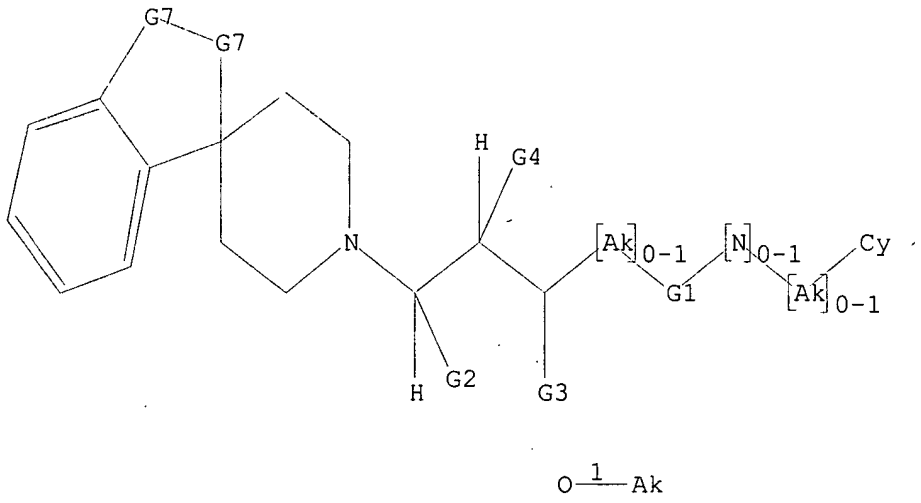
G6:H,O

G7:C,O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 18:CLASS 19:CLASS 21:CLASS 23:CLASS 24:CLASS 26:CLASS
28:CLASS 32:CLASS
33:Atom 36:CLASS 37:CLASS 38:CLASS 39:CLASS 42:CLASS 43:CLASS 44:CLASS
45:CLASS

L9 STRUCTURE UPLOADED

=> d
L9 HAS NO ANSWERS
L9 STR



G1 O,N,C
G2 H,Ak
G3 H,OH,N,X,Ak,[@1]
G4 H,Me
G5 CF3,X,Ak,O,H
G6 H,O
G7 C,O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l9 full sub=L8
FULL SUBSET SEARCH INITIATED 17:20:03 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 1938 TO ITERATE

100.0% PROCESSED 1938 ITERATIONS 1179 ANSWERS
SEARCH TIME: 00.00.01

L10 1179 SEA SUB=L8 SSS FUL L9

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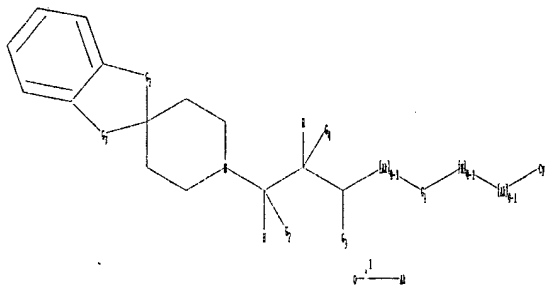
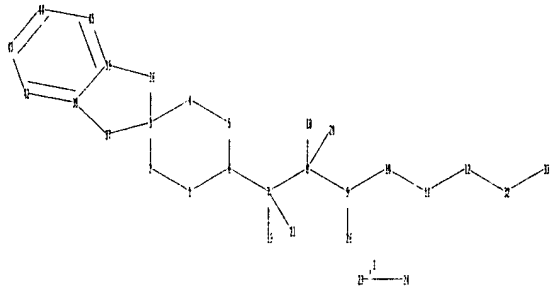
Uploading C:\Program Files\Stnexp\Queries\10528329\12d.str





chain nodes :
7 8 9 10 11 12 18 19 21 23 24 26 28 32 33
ring nodes :
1 2 3 4 5 6 36 37 38 39 42 43 44 45
chain bonds :
6-7 7-8 7-19 7-21 8-9 8-18 8-28 9-10 9-26 10-11 11-12 12-32 23-24 32-33

ring bonds :
1-2 1-6 2-3 3-4 3-36 3-37 4-5 5-6 36-39 37-38 38-39 38-42 39-45 42-43
43-44 44-45
exact/norm bonds :
3-36 3-37 6-7 7-8 7-19 7-21 8-9 8-18 8-28 9-10 9-26 10-11 11-12 12-32
23-24 32-33 36-39 37-38
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6
normalized bonds :
38-39 38-42 39-45 42-43 43-44 44-45

G1:O,N,C

G2:H,Ak

G3:H,OH,N,X,Ak,[*1]

G4:H,CH3

G5:CF3,X,Ak,O,H

G6:H,O

G7:C,O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 18:CLASS 19:CLASS 21:CLASS 23:CLASS 24:CLASS 26:CLASS
28:CLASS 32:CLASS
33:Atom 36:CLASS 37:CLASS 38:CLASS 39:CLASS 42:Atom 43:Atom 44:Atom
45:CLASS

L11 STRUCTURE UPLOADED

=> d
L11 HAS NO ANSWERS
L11 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l11 full sub=L8
FULL SUBSET SEARCH INITIATED 17:21:19 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 2003 TO ITERATE

100.0% PROCESSED 2003 ITERATIONS 507 ANSWERS
SEARCH TIME: 00.00.01

L12 507 SEA SUB=L8 SSS FUL L11

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY 374.50 TOTAL SESSION 374.71

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:21:35 ON 30 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 30 Oct 2006 VOL 145 ISS 19

FILE LAST UPDATED: 29 Oct 2006 (20061029/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l10
L13 103 L10

=> s l12
L14 23 L12

=> s l13 or l14
L15 116 L13 OR L14

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	289	546/17.ccls.	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2006/11/07 17:38
L2	989	514/278.ccls.	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2006/11/07 17:38
L3	1134	L1 or L2	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2006/11/07 17:38

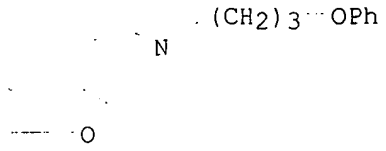
L15 ANSWER 110 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:611284 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 91:211284
TITLE: Analgesic and tranquilizing spiro[dihydrobenzofuran]piperidines and pyrrolidines
INVENTOR(S): Effland, Richard C.; Strupczewski, Joseph T.; Gardner, Beth A.
PATENT ASSIGNEE(S): American Hoechst Corp., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4166119	A	19790828	US 1978-896622	19780414
ES 479369	A1	19800616	ES 1979-479369	19790406
EP 4952	A1	19791031	EP 1979-101135	19790412
EP 4952	B1	19820407		
R: DE, FR, GB, IT				
CA 1132987	A1	19821005	CA 1979-325429	19790412
AT 7902811	A	19830315	AT 1979-2811	19790413
AT 372683	B	19831110		
JP 54145661	A2	19791114	JP 1979-44908	19790414
ES 490171	A1	19810216	ES 1980-490171	19800401
ES 490172	A1	19810216	ES 1980-490172	19800401
PRIORITY APPLN. INFO.:			US 1978-896622 A	19780414

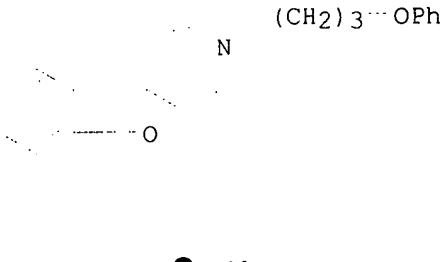
OTHER SOURCE(S): MARPAT 91:211284
GI

I

AB The dehydrofluorination of 4-(2-fluorobenzyl)-4-piperidinols and 3-(2-fluorobenzyl)-3-pyrrolidinols gave spiro compds. I [n = 1,2; R = H, NO2, NH2, Cl, Br, OMe; R1 = H, alkyl, alkenyl, hydroxyethyl, alkanoyl, alkoxyoxalyl, phenylalkanoyl, PhCO, substituted benzoyl, phenylalkyl, cycloalkylalkyl, cycloalkanecarbonyl, furfuryl, furoyl, alkoxycarbonyl, CO2Ph, phenoxyalkyl, 2-(3-indolyl)ethyl, 3-indolyloxalyl], which showed analgesic activity. I are useful as tranquilizers (no data). Thus, 1-benzyl-4-(2-fluorobenzyl)-4-piperidinol was heated with NaH to yield I (n = 2, R = H, RI = PhCH2), which underwent hydrogenolysis to give I (n = 2, R = R1 = H).
IT 71918-10-0P 71918-11-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 71918-10-0 CAPLUS
CN Spiro[benzofuran-2(3H),4'-piperidine], 1'-(3-phenoxypropyl)- (9CI) (CA INDEX NAME)



RN 71918-11-1 CAPLUS
CN Spiro[benzofuran-2(3H),4'-piperidine], 1'-(3-phenoxypropyl)-, hydrochloride (9CI) (CA INDEX NAME)



L15 ANSWER 34 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:869585 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 137:346202
TITLE: Pharmaceutical compositions based on anticholinergics and NK1-receptor antagonists for the treatment of respiratory tract diseases
INVENTOR(S): Pairet, Michel; Pieper, Michael P.; Meade, Christopher J. M.
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U. S. Provisional Ser. NO. 281,653.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169181	A1	20021114	US 2002-92116	20020306
US 6620438	B2	20030916		
DE 10111058	A1	20020912	DE 2001-10111058	20010308
US 2003212075	A1	20031113	US 2003-419358	20030421
US 6696042	B2	20040224		
US 2004151770	A1	20040805	US 2004-763894	20040123
US 2005148562	A1	20050707	US 2004-6940	20041208

PRIORITY APPLN. INFO.:

DE 2001-10111058	A	20010308
US 2001-281653P	P	20010405
DE 2000-10054042	A	20001031
US 2000-253613P	P	20001128
DE 2000-10062712	A	20001215
DE 2000-10063957	A	20001220
US 2000-257220P	P	20001221
US 2000-257221P	P	20001221
DE 2001-10110772	A	20010307
DE 2001-10113366	A	20010320
US 2001-281857P	P	20010405
US 2001-281874P	P	20010405
DE 2001-10138272	A	20010810
US 2001-314599P	P	20010824
US 2001-7182	B1	20011019
US 2001-86145	B1	20011019
US 2001-27662	B1	20011220
DE 2002-10206505	A	20020216
US 2002-92116	A1	20020306
US 2002-93240	B1	20020307
US 2002-100659	A1	20020318
US 2002-369213P	P	20020401
US 2003-360064	A2	20030207
US 2003-413065	B2	20030414
US 2003-419358	A1	20030421
US 2003-613783	A2	20030703
US 2004-763894	A2	20040123
US 2004-775901	A2	20040210
US 2004-776757	A2	20040211
US 2004-824391	A2	20040414

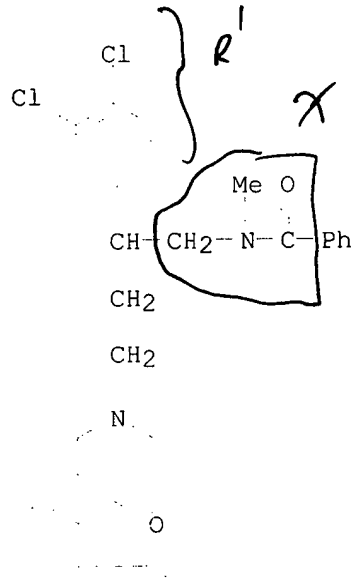
OTHER SOURCE(S): MARPAT 137:346202
AB The invention discloses pharmaceutical compns. based on anticholinergics and NK1-receptor antagonists, processes for preparing them, and their use in

the treatment of respiratory tract diseases. Preparation of selected compds. is included.

IT 173941-22-5, YM 35375
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(YM 35375; anticholinergics and NK1-receptor antagonists for treatment of respiratory tract diseases)

RN 173941-22-5 CAPLUS

CN Benzamide, N-[2-(3,4-dichlorophenyl)-4-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylbutyl]-N-methyl- (9CI) (CA INDEX NAME)

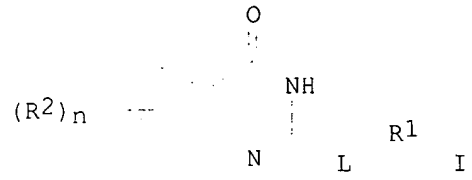


Claims 1, 6, 7, 9
16, 17, 18, 23

L15 ANSWER 43 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:465983 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 137:47214
TITLE: Preparation of 2-substituted-4(3H)-quinazolinone derivatives as PARP inhibitors
INVENTOR(S): Matsuoka, Nobuya; Iwashita, Akinori; Yamazaki, Shunji; Miyake, Hiroshi; Ohkubo, Mitsuru; Kamijo, Kazunori; Nakanishi, Isao; Hattori, Kouji; Kido, Yoshiyuki; Ishida, Junya; Yamamoto, Hirofumi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048117	A1	20020620	WO 2001-JP10601	20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431406	AA	20020620	CA 2001-2431406	20011205
AU 2002021047	A5	20020624	AU 2002-21047	20011205
EP 1355888	A1	20031029	EP 2001-270531	20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004515544	T2	20040527	JP 2002-549648	20011205
US 2004077667	A1	20040422	US 2003-433947	20030609
PRIORITY APPLN. INFO.:			AU 2000-2016 A	20001211
			WO 2001-JP10601 W	20011205

OTHER SOURCE(S): MARPAT 137:47214
GI



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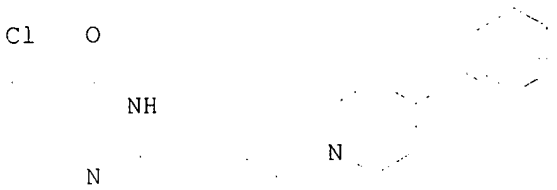


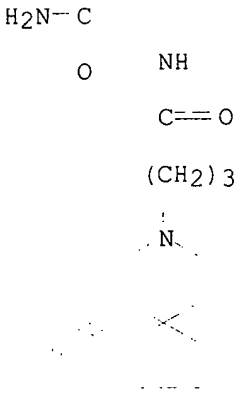
II

AB Title compds. I [R1 = (un)substituted cyclic amino group(s); R2 = substituent; n = 0-4; L = alkylene, alkenylene] were prepared For instance, 2-amino-6-chlorobenzamide was coupled to 4-pentenoyl chloride (THF, i-PrNEt2, 5°C, 30 min) and the product treated with 1N NaOH to afford 2-(3-butenyl)-5-chloro-4(3H)-quinazolinone. This intermediate was oxidatively cleaved (dioxane, OsO4, t-BuOH; NaIO4) effecting cyclization to 8-chloro-1-hydroxy-2,3-dihydropyrrolo[2,1-b]quinazoline-9(1H)-one isolated as a colorless powder. This was used to alkylate 1,2,3,6-tetrahydro-4-phenylpyridine (CH3CNaq, HOAc, NaCNBH3) to afford II. Selected compds. of the invention had IC50 < 0.5 μM for poly(ADP-ribose)polymerase (PARP). I are useful for the treatment of NMDA- and NO-induced toxicity, tissue damage resulting from apoptosis, etc.

IT 437998-96-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-[ω-substituted(hetero)aryl-alkyl]substituted-4(3H)-quinazolinone derivs.)

RN 437998-96-4 CAPLUS

CN Spiro[1H-indene-1,4'-piperidine]-1'-butanamide, N-[2-(aminocarbonyl)phenyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

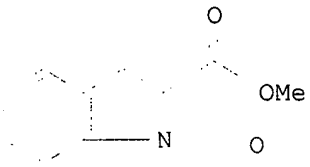


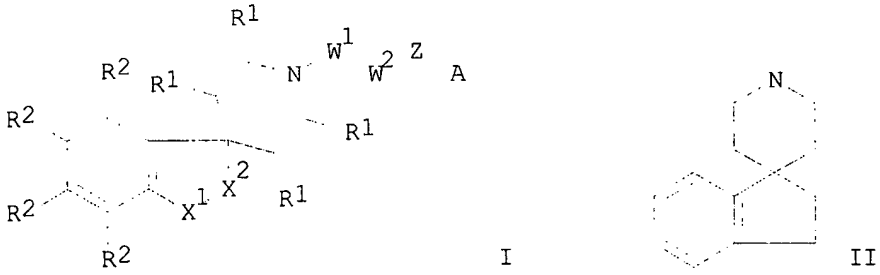
claims 1, 2, 5, 9, 16, 17, 18, 19

L15 ANSWER 32 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5947 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 138:73181
TITLE: Preparation of spiropiperidine compounds as ligands for the ORL-1 receptor
INVENTOR(S): Ito, Fumitaka; Koike, Hiroki; Sudo, Masaki; Yamagishi, Tatsuya; Ando, Koji
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003000677	A1	20030103	WO 2002-IB2272		20020617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2003078278	A1	20030424	US 2002-92040		20020306
US 2003078279	A1	20030424	US 2002-153310		20020522
CA 2450550	AA	20030103	CA 2002-2450550		20020617
EP 1399432	A1	20040324	EP 2002-730637		20020617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2002011305	A	20040713	BR 2002-11305		20020617
JP 2005521630	T2	20050721	JP 2003-507081		20020617
US 2005038060	A1	20050217	US 2004-481210		20040816
PRIORITY APPLN. INFO.:			US 2001-301079P	P	20010626
			WO 2002-IB2272	W	20020617

OTHER SOURCE(S): MARPAT 138:73181
GI





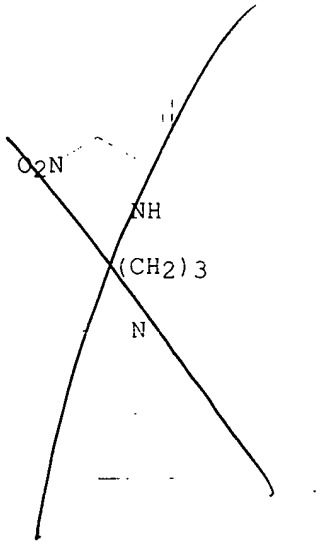
I II

AB Title compds. I [R1 = H, alkyl; R2 = H, halo, OH, alkyl, etc.; X1-2 = alkyl, O, NH, etc.; W1-2 = divalent alkyl, etc.; Z = CO, alkyl; A = benzofuzed azahetero ring] are prepared For instance, 2,3-dihydro[1H-indene-1,4'-piperidine]•HCl was alkylated with Et 3-bromopropionate; the product was saponified, converted to the acid chloride and reacted with Me indoline-2-carboxylate to afford II. I are ligands for the ORL1-receptor and are useful for treating or preventing pain, a CNS disorder.

IT 480999-75-5P, 2,3-Dihydro-1'-[3-(2-nitroanilino)propyl]spiro[1H-indene-1,4'-piperidine] 480999-78-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of spiropiperidine compds. as ligands for ORL-1 receptor)

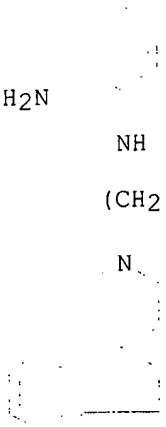
RN 480999-75-5 CAPLUS

CN Spiro[1H-indene-1,4'-piperidine]-1'-propanamine, 2,3-dihydro-N-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 480999-78-8 CAPLUS

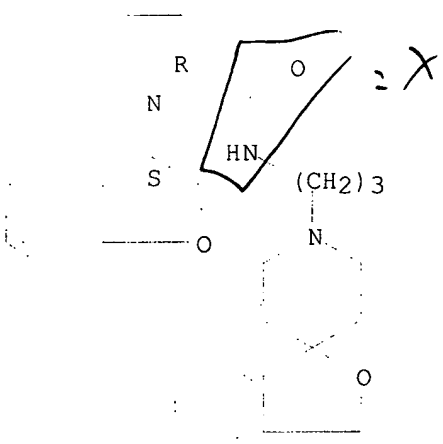
CN 1,2-Benzenediamine, N-[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]- (9CI) (CA INDEX NAME)



Claims 1, 2, 14, 19

RN 475151-60-1 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[(3S)-2,3-dihydro-3-benzofuranyl]-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)-, (2R)- (9CI) (CA INDEX NAME)

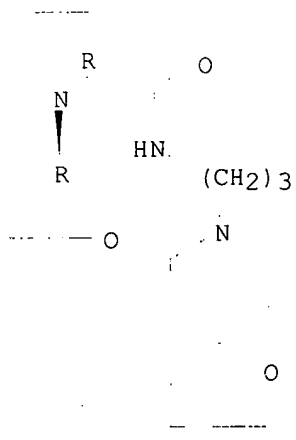
Absolute stereochemistry.



R^2 = het

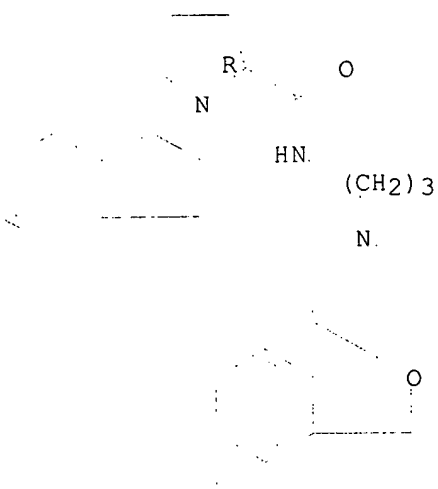
RN 475151-61-2 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[(3R)-2,3-dihydro-3-benzofuranyl]-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475151-62-3 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(2,3-dihydro-1H-inden-2-yl)-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


R
O
N
HN
(CH2)3
N
O

L15 ANSWER 98 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:517118 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 119:117118
TITLE: 4-(phenylalkyl)piperidines, e.g. spiro[isobenzofuran-1(3H),4'-piperidine] derivatives, and their use for the treatment of mental disorders
INVENTOR(S): Moltzen, Ejner K.; Perregaard, Jens Kristian
PATENT ASSIGNEE(S): Lundbeck, H., A/S, Den.
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 518805	A1	19921216	EP 1992-610044	19920612
R: PT				
ZA 9204274	A	19930331	ZA 1992-4274	19920611
WO 9222554	A1	19921223	WO 1992-DK183	19920612
W: AU, CA, CS, FI, JP, KR, NO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9219848	A1	19930112	AU 1992-19848	19920612
AU 664557	B2	19951123		
EP 593511	A1	19940427	EP 1992-912044	19920612
EP 593511	B1	19980902		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06508360	T2	19940922	JP 1992-500747	19920612
JP 2834577	B2	19981209		
EP 853085	A1	19980715	EP 1998-101728	19920612
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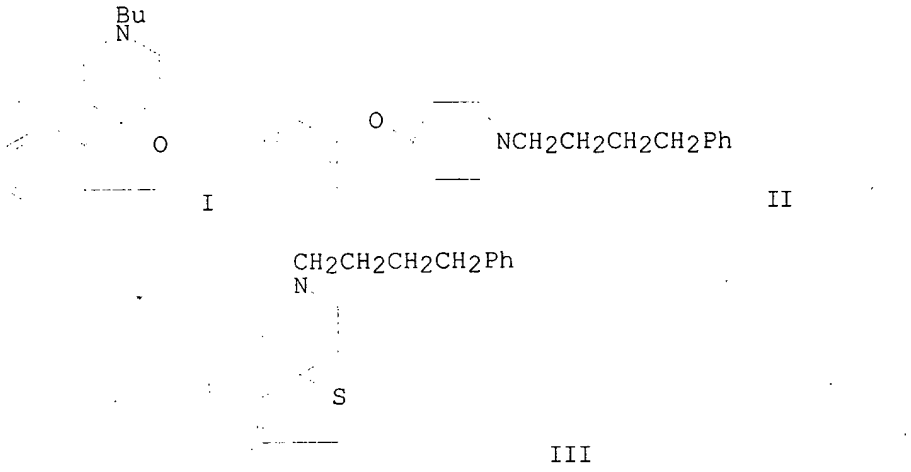
EP 859004	A1	19980819	EP 1998-101729	19920612
EP 859004	B1	20030502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT				
AT 170523	E	19980915	AT 1992-912044	19920612
JP 11001475	A2	19990106	JP 1998-139146	19920612
ES 2123557	T3	19990116	ES 1992-912044	19920612
RU 2142952	C1	19991220	RU 1993-58600	19920612
SK 280899	B6	20000912	SK 1993-1409	19920612
CA 2111204	C	20010227	CA 1992-2111204	19920612
SK 281747	B6	20010710	SK 1999-1004	19920612
SK 281748	B6	20010710	SK 1999-1005	19920612
CZ 289479	B6	20020116	CZ 1993-2726	19920612
AT 239022	E	20030515	AT 1998-101729	19920612
CA 2296901	C	20041019	CA 1992-2296901	19920612
NO 9304494	A	19940211	NO 1993-4494	19931209
NO 306497	B1	19991115		
FI 108137	B1	20011130	FI 1993-5558	19931210
US 5665725	A	19970909	US 1993-166647	19931213
US 5807871	A	19980915	US 1995-478563	19950607
US 6031099	A	20000229	US 1995-486510	19950607
JP 10316659	A2	19981202	JP 1998-139183	19980506
JP 3203230	B2	20010827		
HK 1009272	A1	20000428	HK 1998-109879	19980812
US 6207677	B1	20010327	US 1999-391290	19990907
NO 9904487	A	19940211	NO 1999-4487	19990916
NO 9904488	A	19940211	NO 1999-4488	19990916
NO 310275	B1	20010618		

FI 9902134	A	19991004	FI 1999-2134		19991004
FI 9902135	A	19991004	FI 1999-2135		19991004
FI 112480	B1	20031215			
PRIORITY APPLN. INFO.:			DK 1991-1129	A	19910613
			DK 1991-1131	A	19910613
			DK 1992-157	A	19920210
			CA 1992-2111204	A3	19920612
			EP 1992-912044	A3	19920612
			JP 1992-500747	A3	19920612
			WO 1992-DK183	A	19920612
			US 1993-166647	A3	19931213
			US 1995-486510	A1	19950607

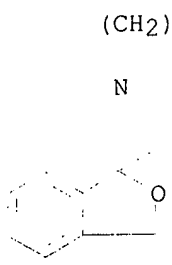
OTHER SOURCE(S): MARPAT 119:117118

GI



AB The use of some 4-(phenylalkyl)piperidines, e.g. spiro[isobenzofuran-1(3H),4'-piperidine derivs., is claimed for the treatment of anxiety, psychosis, epilepsy, convulsions, movement disorders, amnesia, cerebrovascular diseases, senile dementia of the Alzheimer type or Parkinson's disease. Bromination of spiro[isobenzofuran-1(3H),4'-piperidine] gave 1'-butylspiro[isobenzofuran-1(3H),4'-piperidine] (I) which was isolated as the I-oxalate. I inhibited binding of 1,3-di-o-tolyl guanidine to σ-receptors. Also prepared and tested were 3,4-dihydro-1'-(4-phenylbutyl)spiro[1H-2-benzopyran-1,3'-piperidine] (II) as the II-oxalate and 1'-(4-phenylbutyl)spiro[benzo[c]thiophene-1(3H),4'-piperidine] (III) as the III maleate.

RN 147372-50-7 CAPLUS
CN Spiro[isobenzofuran-1(3H),4'-piperidine], 1'-(3-phenoxypropyl)- (9CI) (CA INDEX NAME)

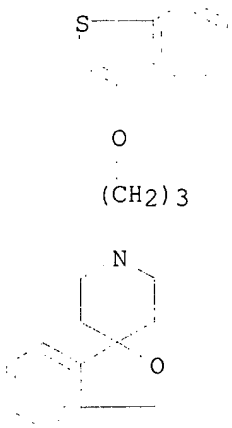


RN 147818-69-7 CAPLUS
CN Spiro[isobenzofuran-1(3H),4'-piperidine], 1'-[3-(benzo[b]thien-3-yloxy)propyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 147818-68-6
CMF C23 H25 N O2 S

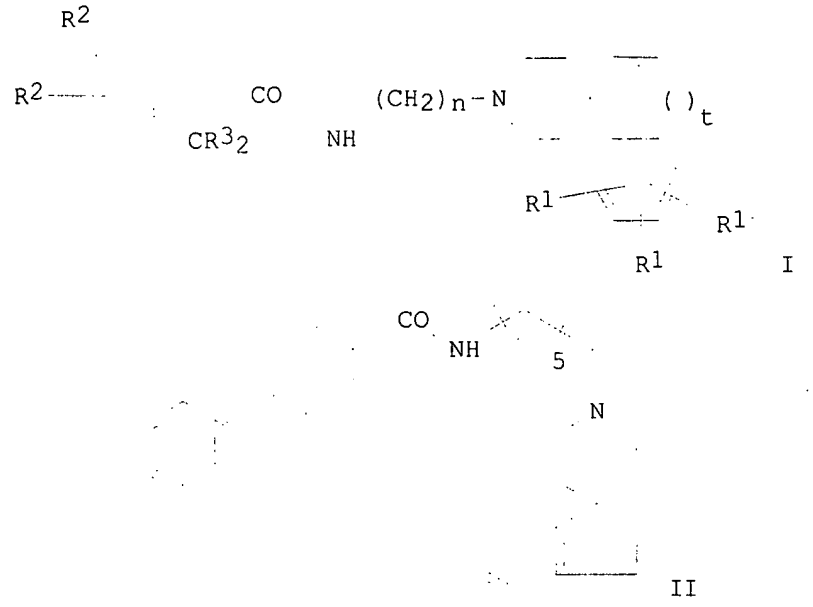
98 - MoHra



L15 ANSWER 28 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:41265 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 140:93931
TITLE: Preparation of spirocyclic piperidines as selective MCH1 antagonists with therapeutic uses
INVENTOR(S): Marzabadi, Mohammad; Jiang, Allen; Lu, Kai; Chen, Chien-An; Deleon, John; Wetzel, John
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004714	A1	20040115	WO 2003-US21348	20030703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003261133	A1	20040123	AU 2003-261133	20030703
CA 2485375	AA	20040715	CA 2003-2485375	20030703
BR 2003012256	A	20050426	BR 2003-12256	20030703
EP 1531816	A1	20050525	EP 2003-763351	20030703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1668300	A	20050914	CN 2003-815883	20030703
JP 2006501188	T2	20060112	JP 2004-520024	20030703
US 2006173027	A1	20060803	US 2004-518939	20041217
NO 2005000145	A	20050111	NO 2005-145	20050111
PRIORITY APPLN. INFO.:			US 2002-189146	A2 20020703
			WO 2003-US21348	W 20030703

OTHER SOURCE(S): MARPAT 140:93931
GI

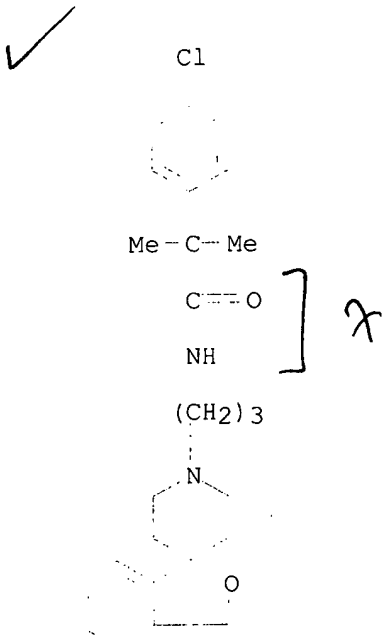


AB This invention is directed to spirocyclic piperidines (shown as I; variables defined below; e.g. 4-phenyl-N-[6-(spiro[indane-1,4-piperidine]-10-yl)hexyl]benzamide (II)) that are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors. The invention provides a pharmaceutical composition comprising a therapeutically effective amount of the compound of the invention and a pharmaceutically acceptable carrier. This invention provides a pharmaceutical composition made by combining a therapeutically effective amount of the compound of this invention and a pharmaceutically acceptable carrier. This invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of the compds. of the invention and a pharmaceutically acceptable carrier. This invention also provides a method of reducing the body mass of a subject, treating a subject suffering from depression and/or anxiety, and treating a subject suffering from a urinary disorder. Binding consts. for .apprx.100 examples of I to MCH1 are tabulated, e.g. 2.4 nM for 2,2-bis(4-fluorophenyl)-N-[3-(spiro[indene-1,4'-piperidine]-10-yl)propyl]acetamide. Although the methods of preparation are not claimed, .apprx.10 example prepns. are included. For example, II was prepared as part of a library from 6-(spiro[indane-1,4'-piperidine]-10-yl)hexylamine and 4-phenylbenzoyl chloride and either Hunig's base/CH2Cl2, 2 equiv Et3N/3:1 THF-CH2Cl2 or 2 equiv Et3N/THF. For I: the dashed side of the ring is CH2, O, -CO-, -CO2-, -CH2CH2- or -CHCH-; t = 0-1 and the cyclic ring containing t is 5 or 6-membered; n = 1-6; each R1 and R2 = H, F, Cl, Br, I, straight chained or branched C1-C7 alkyl, monofluoroalkyl or polyfluoroalkyl, aryl or heteroaryl; each R3 = H, C1-C6 straight chained or branched alkyl, (un)substituted aryl or heteroaryl (substituents = ≥1 F, Cl, Br, I, R2, straight chained or branched C1-C7 alkyl, aryl, phenoxy or heteroaryl); and two R3 moieties taken together can form a C3-C6 cycloalkyl.

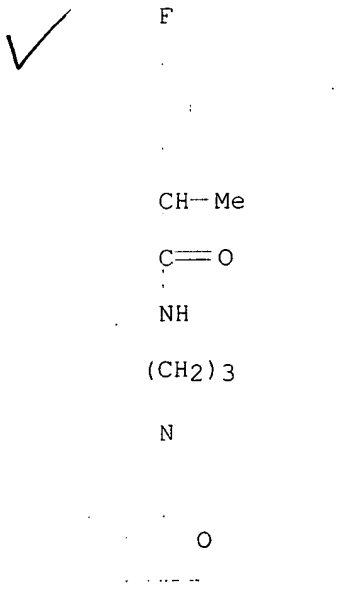
IT 644974-15-2P, N-[3-(1-Oxo-1,3-dihydrospiro[isobenzofuran-3,4'-piperidine]-10-yl)propyl]-2,2-diphenylacetamide
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of spirocyclic piperidines as selective MCH1 antagonists with therapeutic uses)

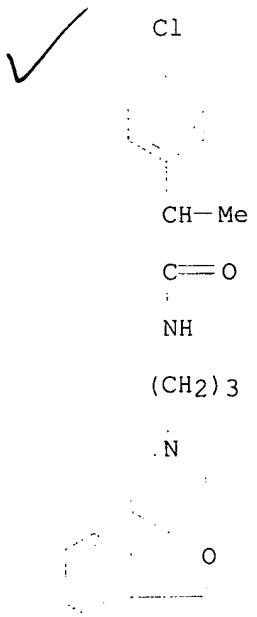
RN 644975-02-0 CAPLUS
CN Benzeneacetamide, 4-chloro-α,α-dimethyl-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)- (9CI) (CA INDEX NAME)



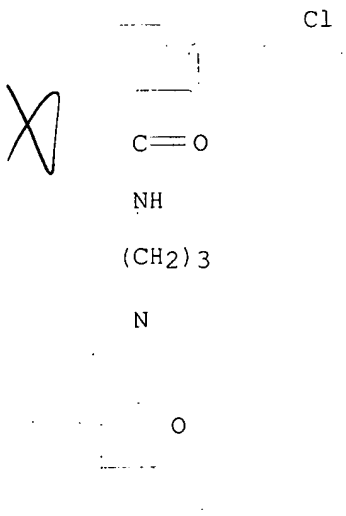
RN 644975-03-1 CAPLUS
CN Benzeneacetamide, 4-fluoro-α-methyl-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)- (9CI) (CA INDEX NAME)



RN 644975-04-2 CAPLUS
CN Benzeneacetamide, 4-chloro-α-methyl-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)- (9CI) (CA INDEX NAME)

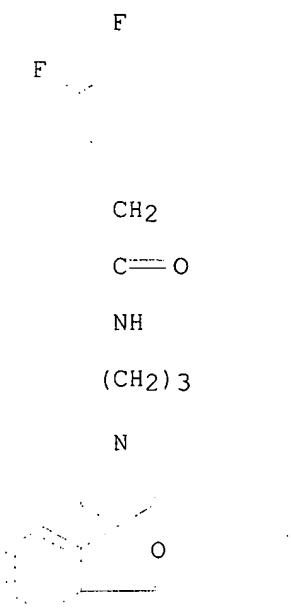


RN 644975-05-3 CAPLUS
CN Cyclopentanecarboxamide, 1-(4-chlorophenyl)-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)- (9CI) (CA INDEX NAME)

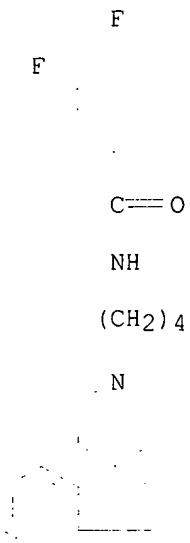


RN 644975-06-4 CAPLUS
CN Benzeneacetamide, 3,4-difluoro-N-(3-spiro[isobenzofuran-1(3H),4'-

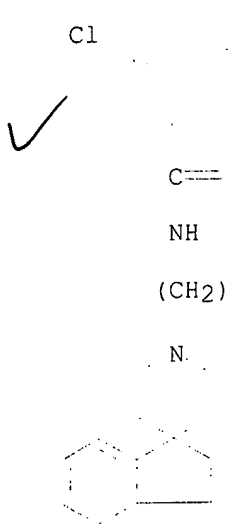
piperidin]-1'-ylpropyl)- (9CI) (CA INDEX NAME)



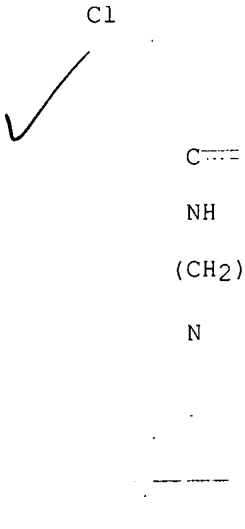
RN 644974-82-3 CAPLUS
CN Benzamide, N-[4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-3,4-difluoro- (9CI) (CA INDEX NAME)



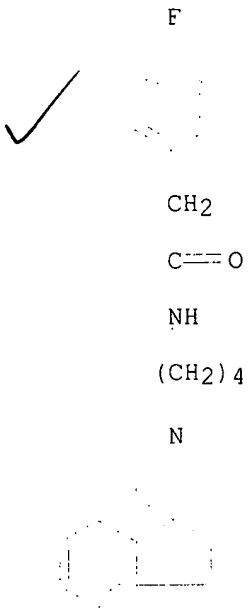
RN 644974-31-2 CAPLUS
CN Benzamide, 3,5-dichloro-N-[4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]- (9CI) (CA INDEX NAME)



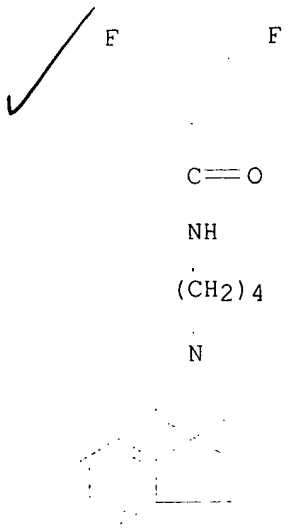
RN 644974-32-3 CAPLUS
CN Benzamide, 3,5-dichloro-N-[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]- (9CI) (CA INDEX NAME)



RN 644974-33-4 CAPLUS
CN Benzeneacetamide, N-[4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-4-fluoro- (9CI) (CA INDEX NAME)


F
CH2
C
O
NH
(CH2)4
N

RN 644974-37-8 CAPLUS
CN Benzamide, N-[4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



RN 644974-42-5 CAPLUS
CN Benzamide, 3-chloro-N-[4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]- (9CI) (CA INDEX NAME)

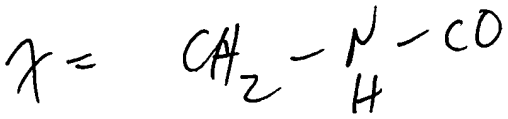
Cl

C(=O)

NH

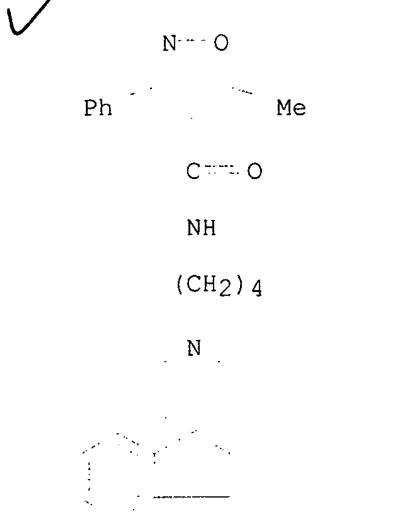
$(CH_2)_4$

N

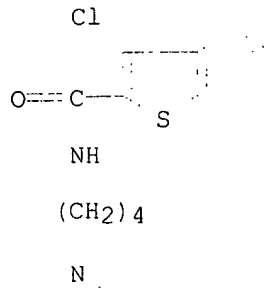


Searched by Jason M. Nolan, Ph.D.

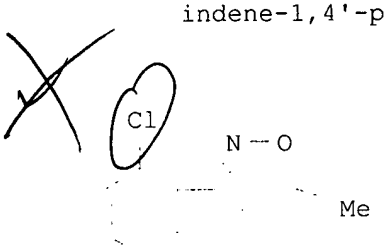
RN 644974-53-8 CAPLUS
CN 4-Isoxazolecarboxamide, N-[4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-5-methyl-3-phenyl- (9CI) (CA INDEX NAME)



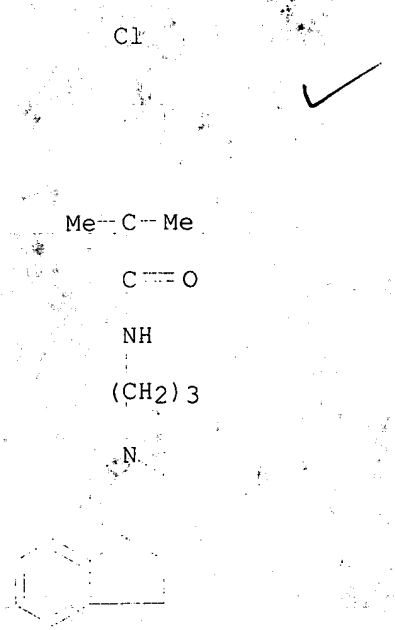
RN 644974-57-2 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, 3-chloro-N-[4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]- (9CI) (CA INDEX NAME)



RN 644974-58-3 CAPLUS
CN 4-Isoxazolecarboxamide, 3-(2-chlorophenyl)-N-[4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-5-methyl- (9CI) (CA INDEX NAME)

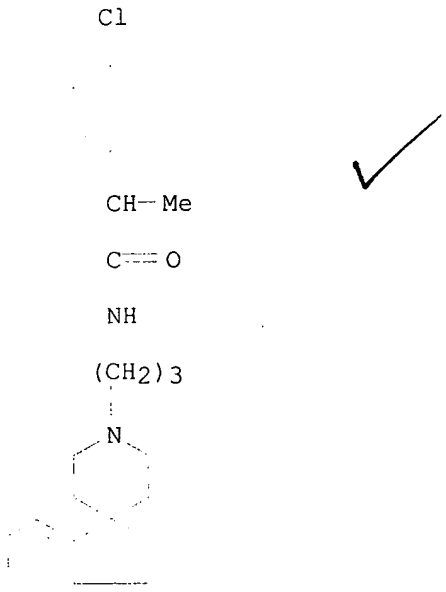


RN 644974-69-6 CAPLUS
CN Benzeneacetamide, 4-chloro-N-[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]-α,α-dimethyl- (9CI) (CA INDEX NAME)



Searched by Jason M. Nolan, Ph.D.

RN 644974-73-2 CAPLUS
CN Benzeneacetamide, 4-chloro-N-[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]-α-methyl- (9CI) (CA INDEX NAME)



L15 ANSWER 96 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:422772 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 122:187403
TITLE: Preparation of 4-(substituted aryl)piperidines as neurokinin receptor antagonists.
INVENTOR(S): Jacobs, Robert; Shenvi, Ashokkumar
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 630887	A1	19941228	EP 1994-303607	19940520
EP 630887	B1	19990728		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5654299	A	19970805	US 1994-228822	19940418
CA 2124048	AA	19941125	CA 1994-2124048	19940520
NO 9401906	A	19941125	NO 1994-1906	19940520
AU 9463203	A1	19941215	AU 1994-63203	19940520
AU 673063	B2	19961024		
HU 70445	A2	19951030	HU 1994-1543	19940520
HU 216845	B	19990928		
AT 182583	E	19990815	AT 1994-303607	19940520
ES 2135543	T3	19991101	ES 1994-303607	19940520
RU 2141947	C1	19991127	RU 1994-24563	19940520
FI 9402381	A	19941125	FI 1994-2381	19940523
IL 109734	A1	19980924	IL 1994-109734	19940523
IL 120895	A1	19980924	IL 1994-120895	19940523
JP 06340625	A2	19941213	JP 1994-110008	19940524
JP 3140294	B2	20010305		
CN 1098094	A	19950201	CN 1994-106194	19940524
CN 1061977	B	20010214		
TW 380135	B	20000121	TW 1994-83104824	19940527

PRIORITY APPLN. INFO.: GB 1993-10713 A 19930524
GB 1994-9138 A 19940509
IL 1994-109734 A3 19940523

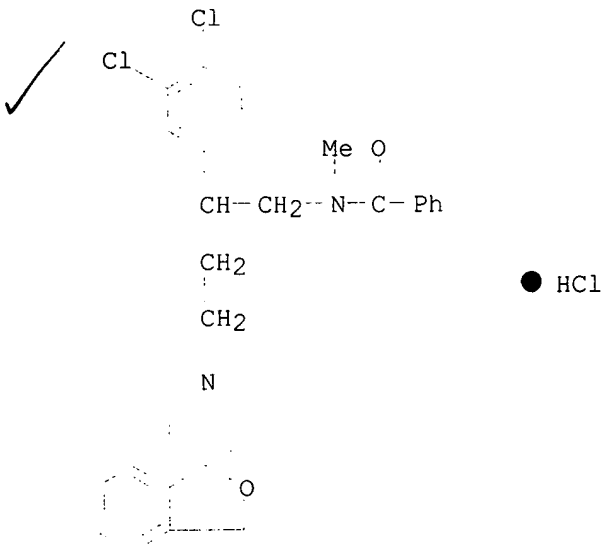
OTHER SOURCE(S): MARPAT 122:187403
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The preparation of the title compds. I (R2 = R3 = H; R2 = H, R3 = OH; R4 = aryl, heteroaryl) is described. I antagonize the pharmacol. actions of one of the endogenous neuropeptide tachykinins at the neurokinin 2 receptor making them useful whenever such antagonism is desired, such as in the treatment of asthma and related conditions. Thus arylpiperidine II, prepared by condensation of 4-(3-pyridiyl)piperidine with (S)-N-[2-(3,4-dichlorophenyl)-4-oxobutyl]-N-methylbenzamide in the presence of sodium cyanoborohydride, exhibited a binding constant (Ki) of 2.0 nM for Neurokinin A receptors. The invention also provides tablet, capsule, and aerosol formulations of I.
IT 161609-64-9P 161609-65-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(substituted aryl)piperidines as neurokinin receptor antagonists)

RN 161609-64-9 CAPLUS
CN Benzamide, N-[2-(3,4-dichlorophenyl)-4-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylbutyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

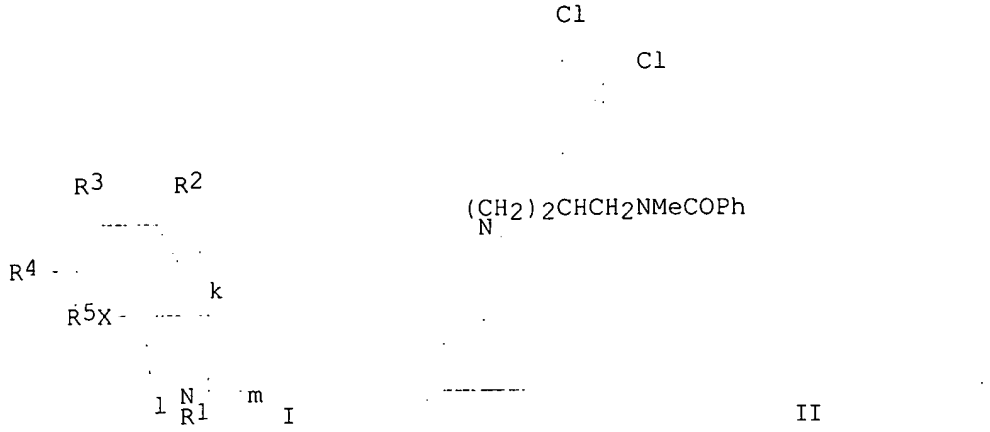


RN 161609-65-0 CAPLUS
CN Benzamide, N-[2-(3,4-dichlorophenyl)-4-(3-oxospiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl)butyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 93 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:647963 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 123:55696
TITLE: Preparation of spiro-substituted azacycles as tachykinin receptor antagonists
INVENTOR(S): Hale, Jeffrey J.; Maccoss, Malcolm; Mills, Sander G.; Qi, Hongbo; Shah, Shrenik K.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417045	A1	19940804	WO 1994-US819	19940125
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2154569	AA	19940804	CA 1994-2154569	19940125
AU 9461268	A1	19940815	AU 1994-61268	19940125
AU 693087	B2	19980625		
EP 681571	A1	19951115	EP 1994-907866	19940125
EP 681571	B1	19980729		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08505880	T2	19960625	JP 1994-517241	19940125
AT 169001	E	19980815	AT 1994-907866	19940125
ES 2119174	T3	19981001	ES 1994-907866	19940125
US 5869496	A	19990209	US 1995-481418	19950711
PRIORITY APPLN. INFO.:			US 1993-10233 A	19930128
			WO 1994-US819 W	19940125

OTHER SOURCE(S): MARPAT 123:55696
GI



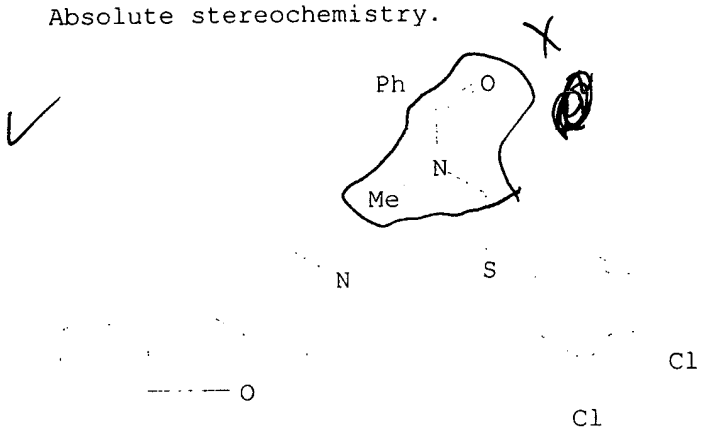
AB Title compds. I (k = 0-2; l, m = 0-5, lm = 1-5; R1 = H, (mono-, di-, tri-, or tetra substituted) C18 alkyl, or -alkenyl, or -alkynyl, (substituted)

Ph or naphthyl, (substituted) heteroaryl; X = C; R2-5 = H, HO, O, (substituted) amino or quaternized amino, R2R3 , or R3R4 = C-C, R2R3, R3R4, R4R5 = aryl, heteroaryl) or a salt thereof, are prepared In particular I are neurokinin antagonists. (3S)-(3,4-dichlorophenyl)-4-(N-methylbenzamido)butanal, spiro(1H-indene-1,4'-piperidine)-HCl, and activated 3Å mol. sieves in MeOH were treated with NaBH3CN to give title compound II. I displaced radioactive ligand for neurokinin-1 (NK-1) receptor at 1-10, for NK-2 0.1-5, and NK-3 10 nM-10 μM, resp.. I are claimed to antagonize substance P and preventing asthma and emesis.

IT 164328-35-2P 164328-41-0P 164328-44-3P
164328-84-1P 164328-85-2P 164328-86-3P
164328-87-4P 164328-88-5P 164328-90-9P
164328-91-0P 164328-92-1P 164328-93-2P
164328-94-3P 164328-95-4P 164328-96-5P
164328-97-6P 164328-98-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro-substituted azacycles as tachykinin receptor antagonists)

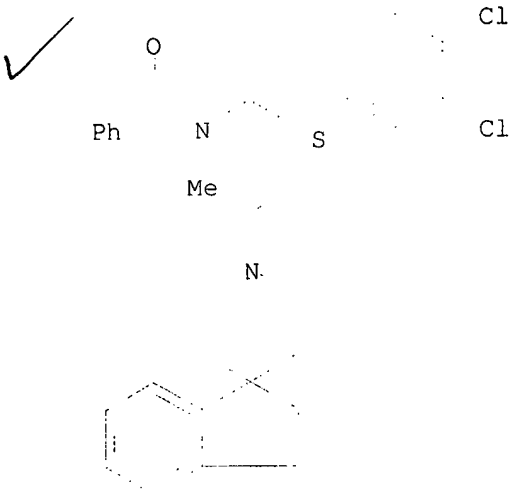
RN 164328-35-2 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-spiro[benzofuran-2(3H),4'-piperidin]-1'-ylbutyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

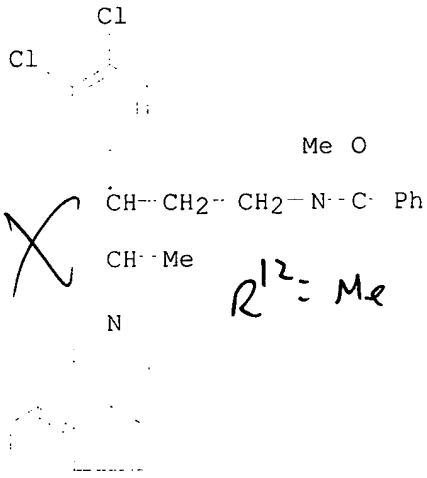


RN 164328-41-0 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

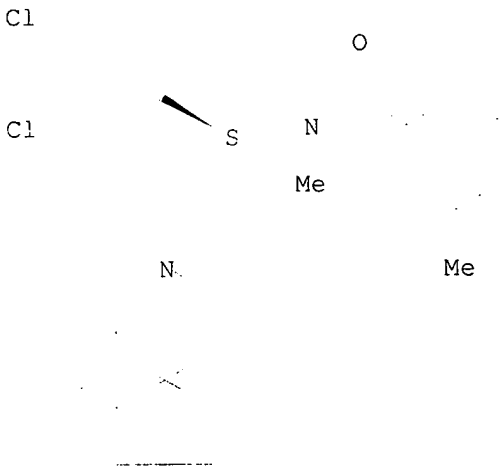


RN 164328-44-3 CAPLUS
CN Benzamide, N-[3-(3,4-dichlorophenyl)-4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)pentyl]-N-methyl- (9CI) (CA INDEX NAME)



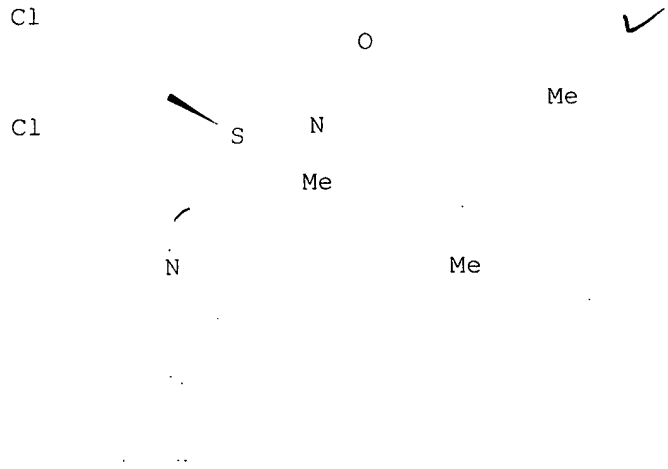
RN 164328-84-1 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



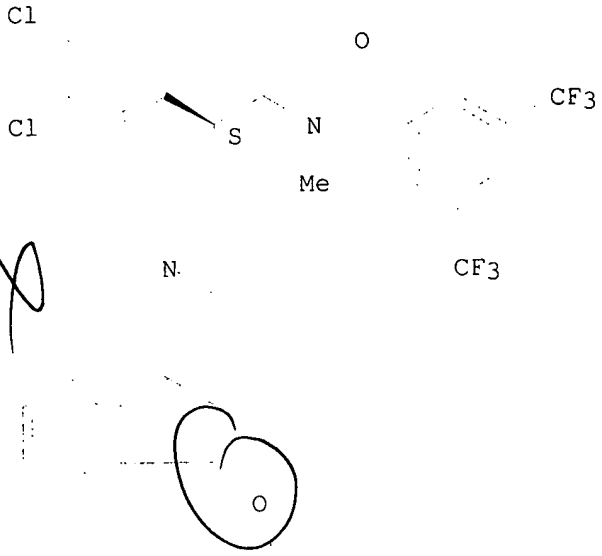
RN 164328-85-2 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



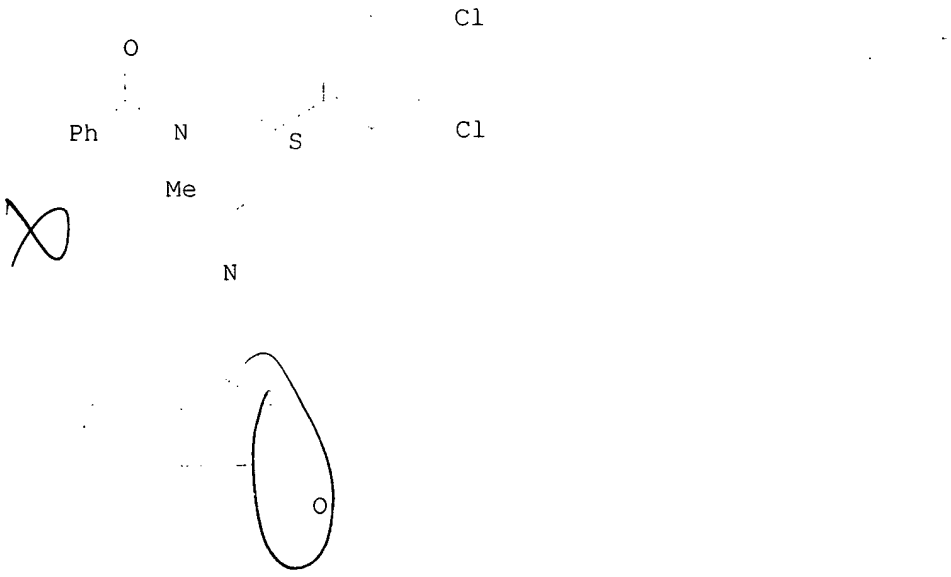
RN 164328-86-3 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydro-3-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N-methyl-3,5-bis(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



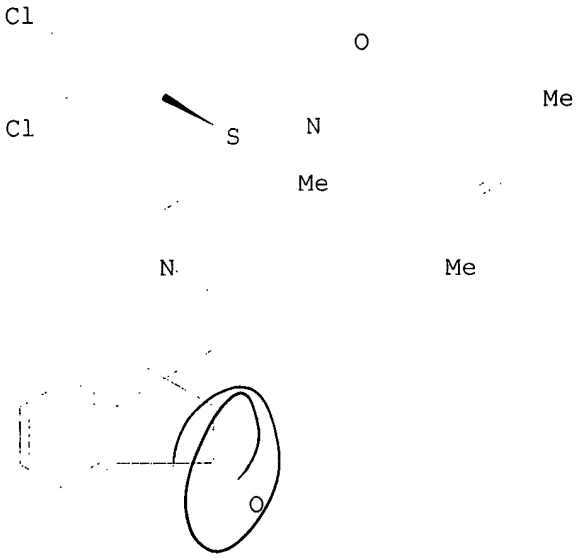
RN 164328-87-4 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydro-3-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



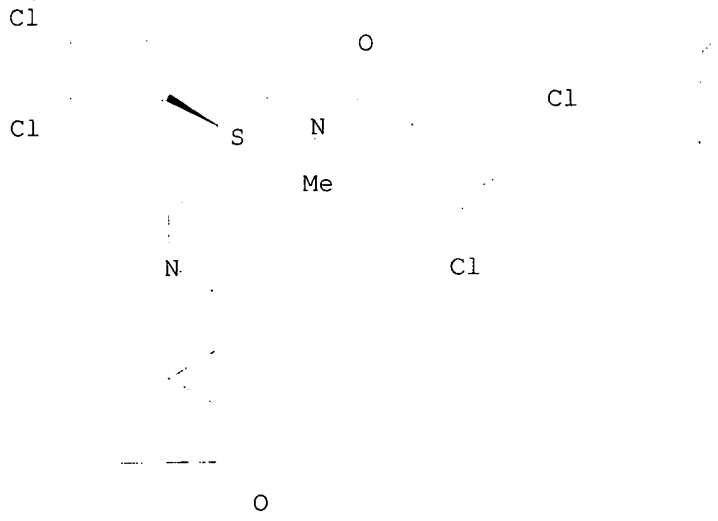
RN 164328-88-5 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydro-3-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



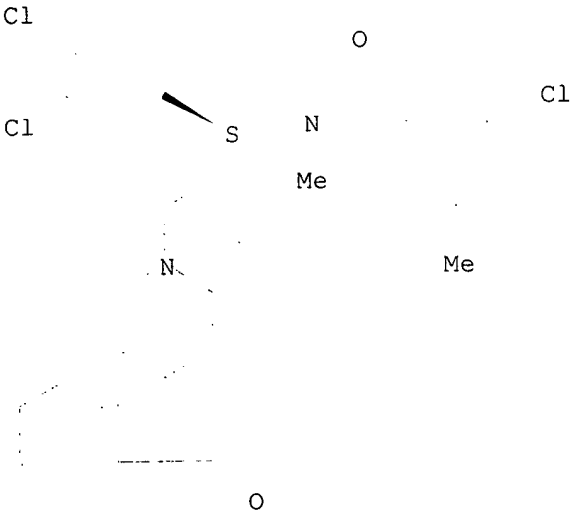
RN 164328-90-9 CAPLUS
CN Benzamide, 3,5-dichloro-N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydro-3-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



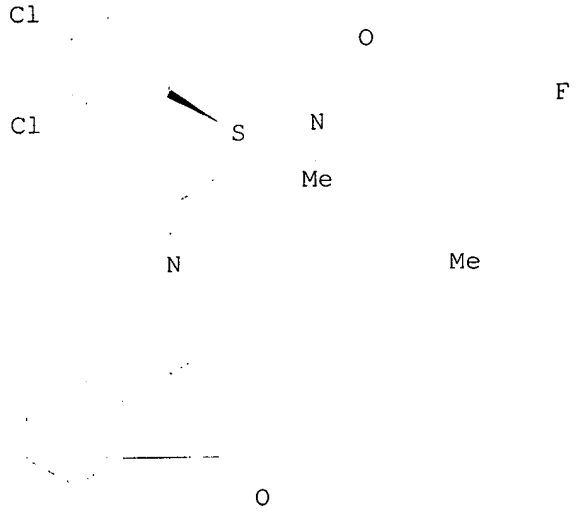
RN 164328-91-0 CAPLUS
CN Benzamide, 3-chloro-N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydro-3-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



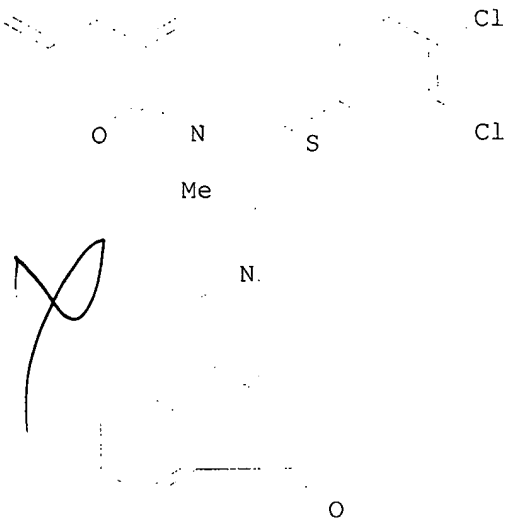
RN 164328-92-1 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydro-3-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-3-fluoro-N,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



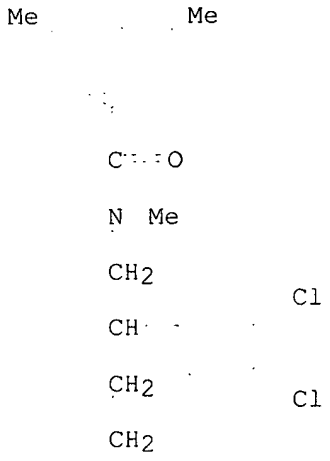
RN 164328-93-2 CAPLUS
CN 1-Naphthalenecarboxamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(2,3-dihydro-3-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

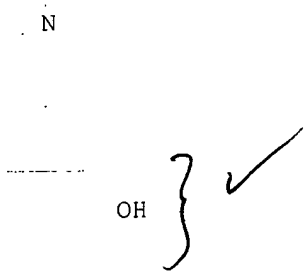


RN 164328-94-3 CAPLUS
CN Benzamide, N-[2-(3,4-dichlorophenyl)-4-(2,3-dihydro-3-hydroxyspiro[1H-indene-1,4'-piperidin]-1'-yl)butyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

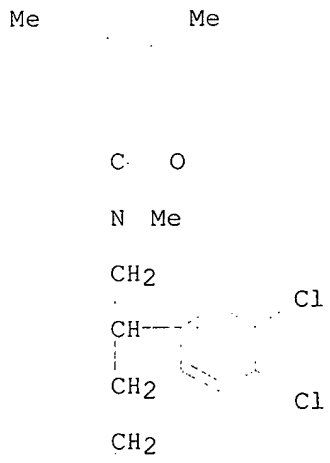


PAGE 2-A

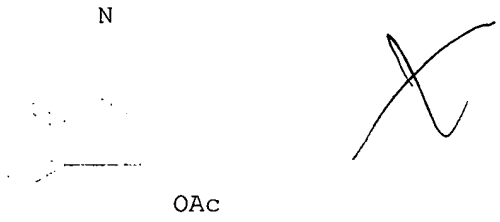


RN 164328-95-4 CAPLUS
CN Benzamide, N-[4-[3-(acetyloxy)-2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl]-2-(3,4-dichlorophenyl)butyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

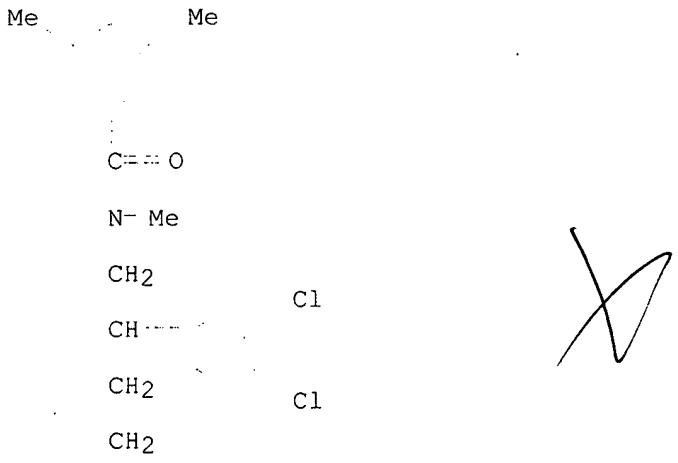


PAGE 2-A



RN 164328-96-5 CAPLUS
CN Benzamide, N-[2-(3,4-dichlorophenyl)-4-[2,3-dihydro-3-[[(methylamino)carbonyl]amino]spiro[1H-indene-1,4'-piperidin]-1'-yl]butyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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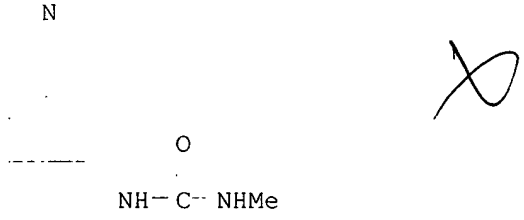
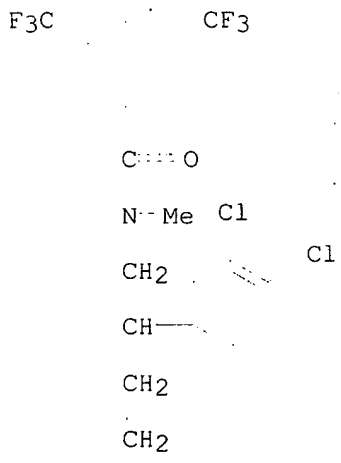
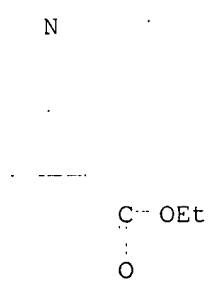


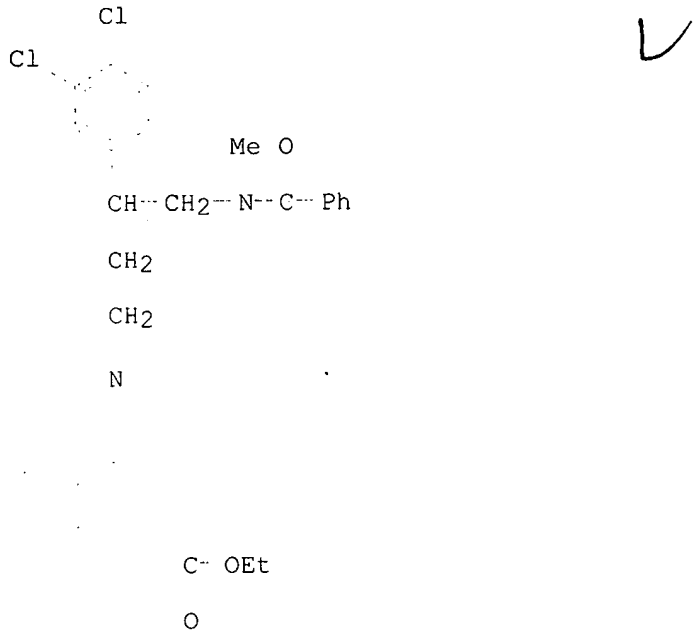
RN 164328-97-6 CAPLUS
CN Spiro[1H-indene-1,4'-piperidine]-3-carboxylic acid, 1'-[4-[[3,5-bis(trifluoromethyl)benzoyl]methylamino]-3-(3,4-dichlorophenyl)butyl]-2,3-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A





RN 164328-98-7 CAPLUS
CN Spiro[1H-indene-1,4'-piperidine]-3-carboxylic acid, 1'-[4-(benzoylmethylamino)-3-(3,4-dichlorophenyl)butyl]-2,3-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



T

L15 ANSWER 91 OF 116 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:781772 CAPLUS <<LOGINID::20061106>>
DOCUMENT NUMBER: 123:169671
TITLE: Preparation of spirocyclic compounds as neurokinin antagonists
INVENTOR(S): MacCoss, Malcolm; Mills, Sander G.; Shah, Shrenik K.; Chiang, Yuan-Ching P.; Dunn, Patrick T.; Koyama, Hiroo; Finke, Paul E.; Qi, Hongbo; Robichaud, Albert J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9429309	A1	19941222	WO 1994-US5545	19940517
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TT, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2163995	AA	19941222	CA 1994-2163995	19940517
AU 9472011	A1	19950103	AU 1994-72011	19940517
AU 680020	B2	19970717		
EP 702681	A1	19960327	EP 1995-901979	19940517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08511522	T2	19961203	JP 1994-501802	19940517
ZA 9403946	A	19950120	ZA 1994-3946	19940606
PRIORITY APPLN. INFO.:			US 1993-72904 A	19930607
			WO 1994-US5545 W	19940517

OTHER SOURCE(S): MARPAT 123:169671
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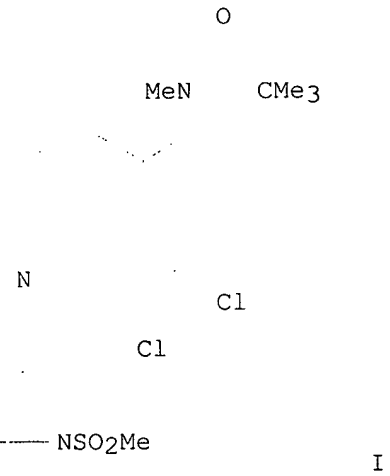

I

AB Spirocyclic nitrogen-heterocyclic compds. were disclosed as tachykinin receptor antagonists useful for the treatment of inflammatory diseases, pain or migraine, and asthma. In particular, said compds. were shown to be neurokinin antagonists. Many example compds. are claimed. One such specific compound is N-[3-(3,4-dichlorophenyl)-4-[1,2-dihydro-1-(sulfonylmethyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]butyl]-2,2-dimethylpropanamide (I).

IT 167484-54-0P 167484-66-4P 167484-67-5P
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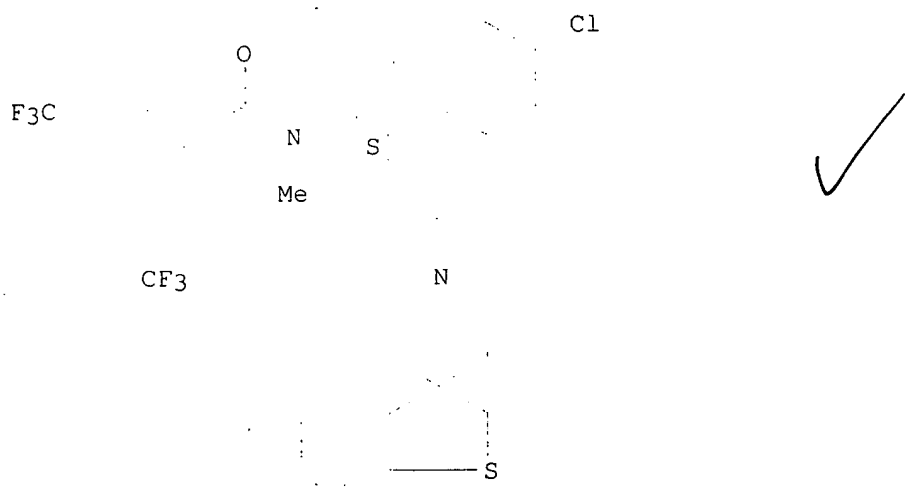
167484-75-5P 167484-76-6P 167484-77-7P
167484-78-8P 167484-80-2P 167484-81-3P
167484-84-6P 167484-86-8P 167484-87-9P
167484-88-0P 167484-89-1P 167484-90-4P
167485-31-6P 167485-32-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spirocyclic compds. as kinin receptor antagonists)

RN 167484-54-0 CAPLUS

CN Benzamide, N-[(2S)-2-(4-chlorophenyl)-4-spiro[benzo[b]thiophene-3(2H),4'-piperidin]-1'-ylbutyl]-N-methyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

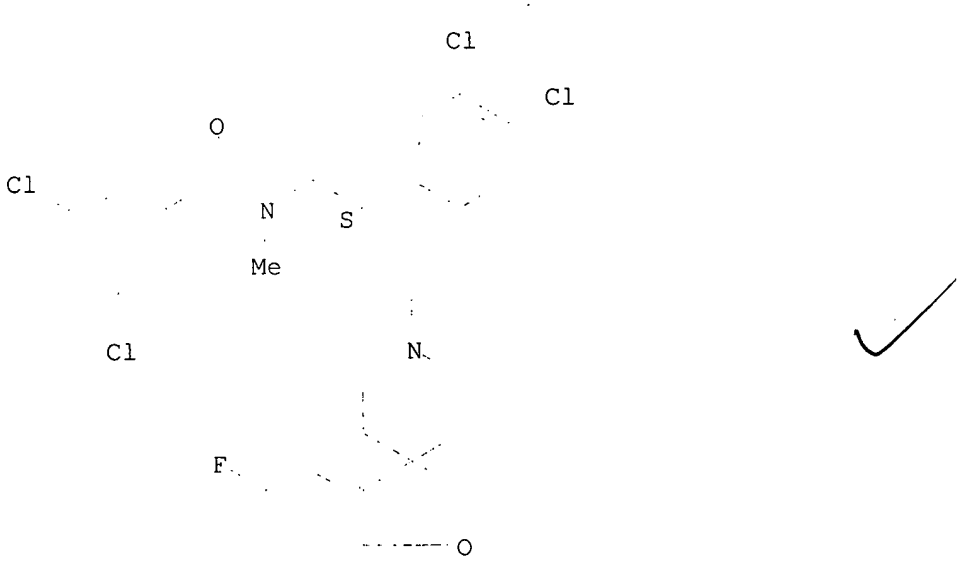
Absolute stereochemistry.



RN 167484-66-4 CAPLUS

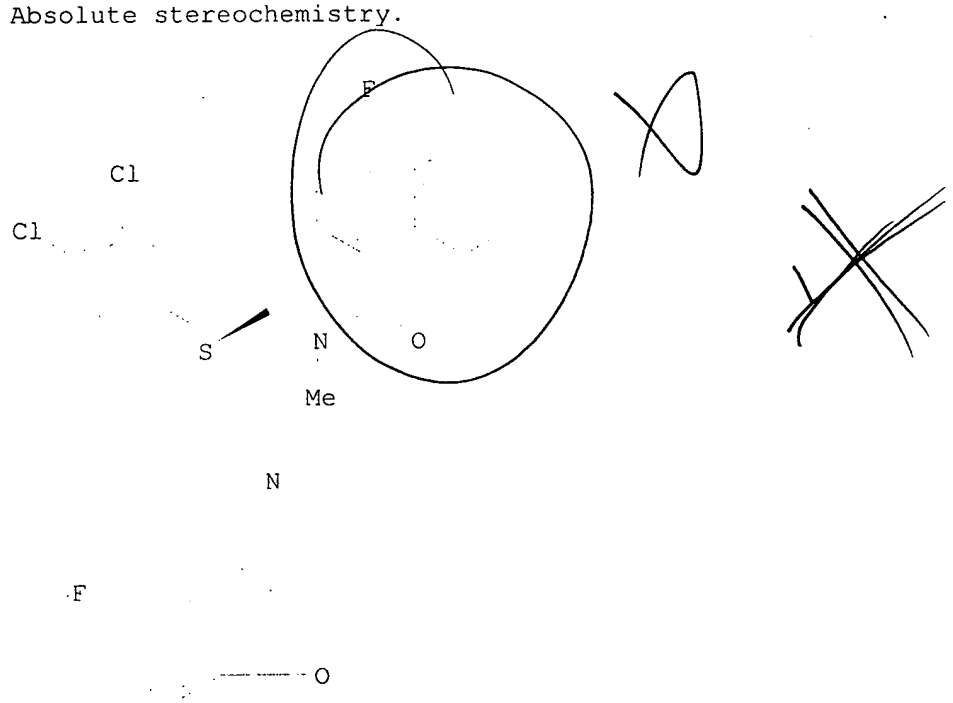
CN Benzamide, 3,5-dichloro-N-[(2S)-2-(3,4-dichlorophenyl)-4-(5-fluorospiro[benzofuran-3(2H),4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167484-67-5 CAPLUS
CN 1-Naphthalenecarboxamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(5-fluorospiro[benzofuran-3(2H),4'-piperidin]-1'-yl)butyl]-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)

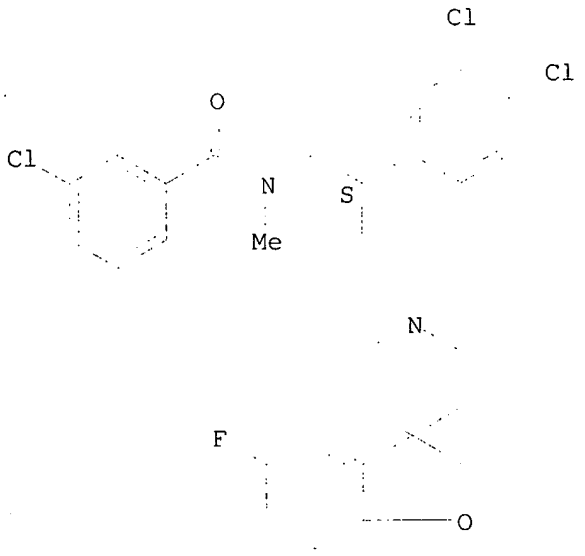
Absolute stereochemistry.



RN 167484-68-6 CAPLUS
CN Benzamide, 3-chloro-N-[(2S)-2-(3,4-dichlorophenyl)-4-(5-fluorospiro[benzofuran-3(2H),4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI)

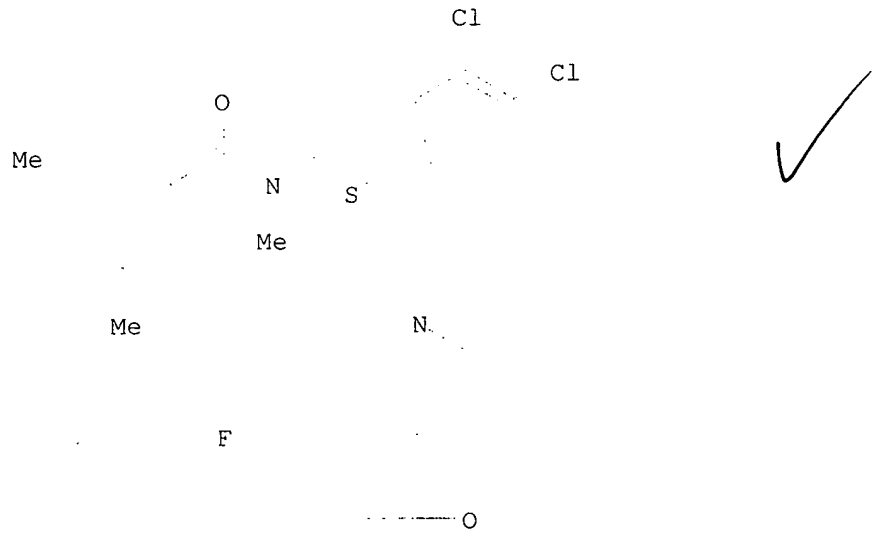
(CA INDEX NAME)

Absolute stereochemistry.



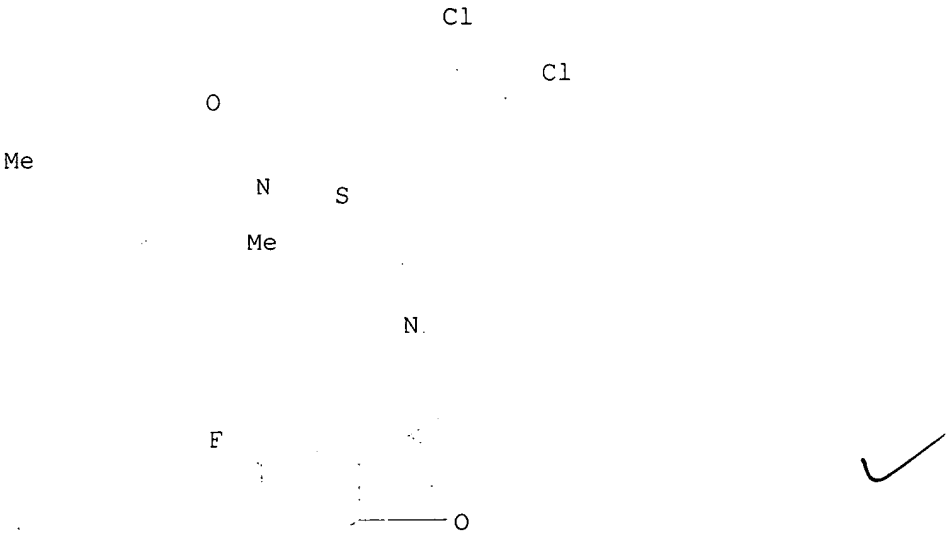
RN 167484-69-7 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(5-fluorospiro[benzofuran-3(2H),4'-piperidin]-1'-yl)butyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



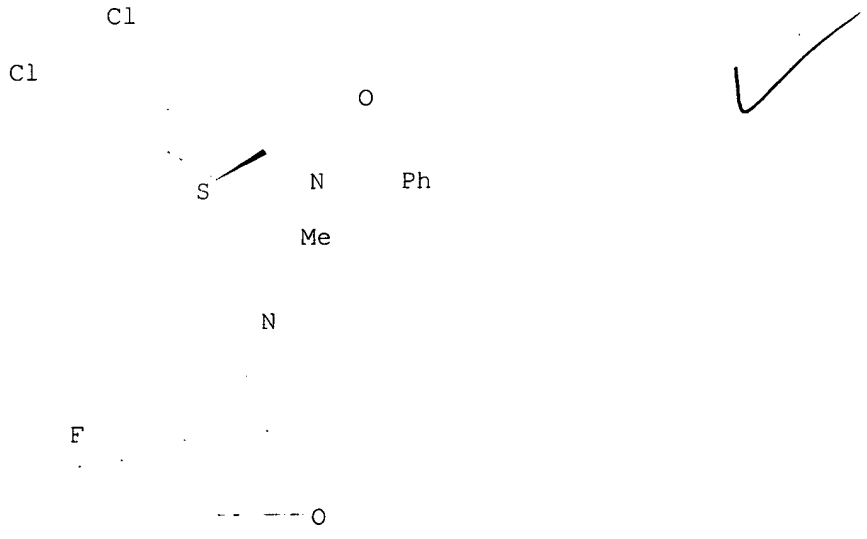
RN 167484-70-0 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(5-fluorospiro[benzofuran-3(2H),4'-piperidin]-1'-yl)butyl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



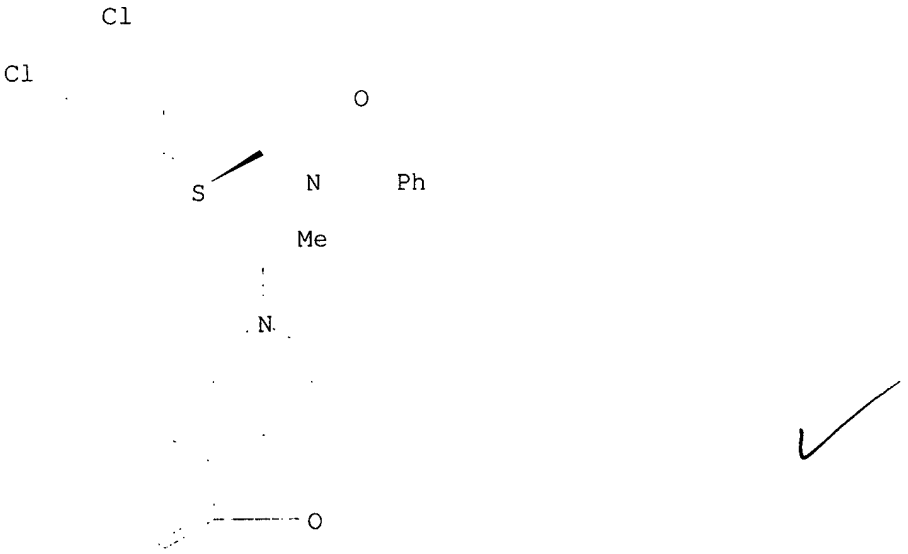
RN 167484-71-1 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-(5-fluorospiro[benzofuran-3(2H),4'-piperidin]-1'-yl)butyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



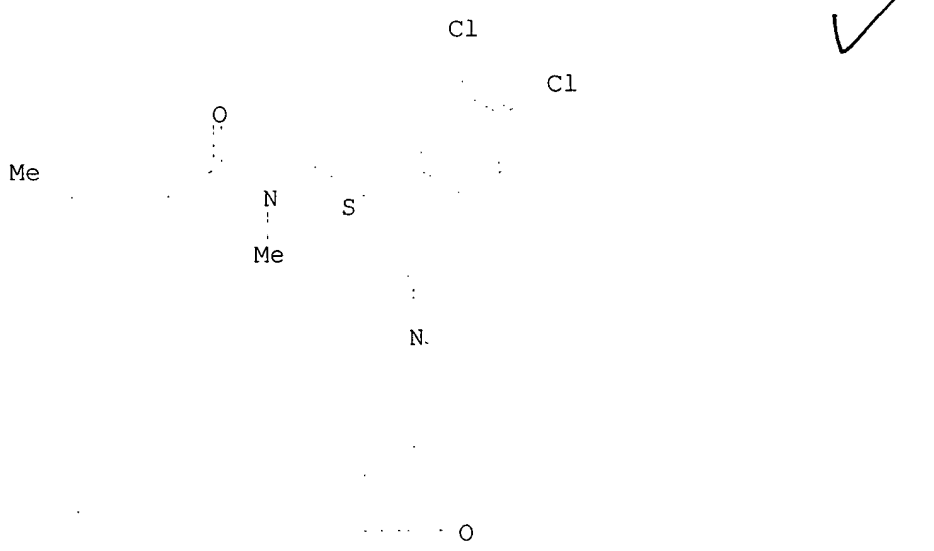
RN 167484-73-3 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-spiro[benzofuran-3(2H),4'-piperidin]-1'-ylbutyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



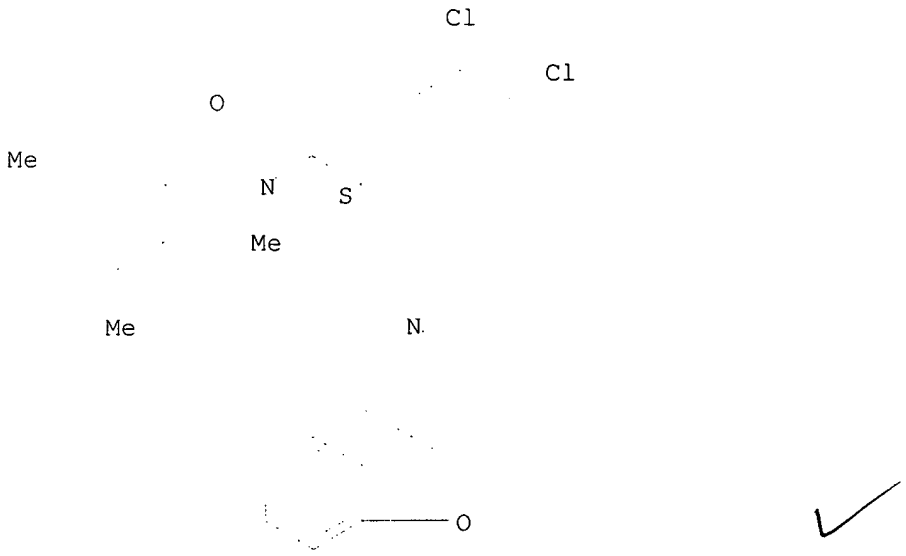
RN 167484-74-4 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-spiro[benzofuran-3(2H),4'-piperidin]-1'-ylbutyl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



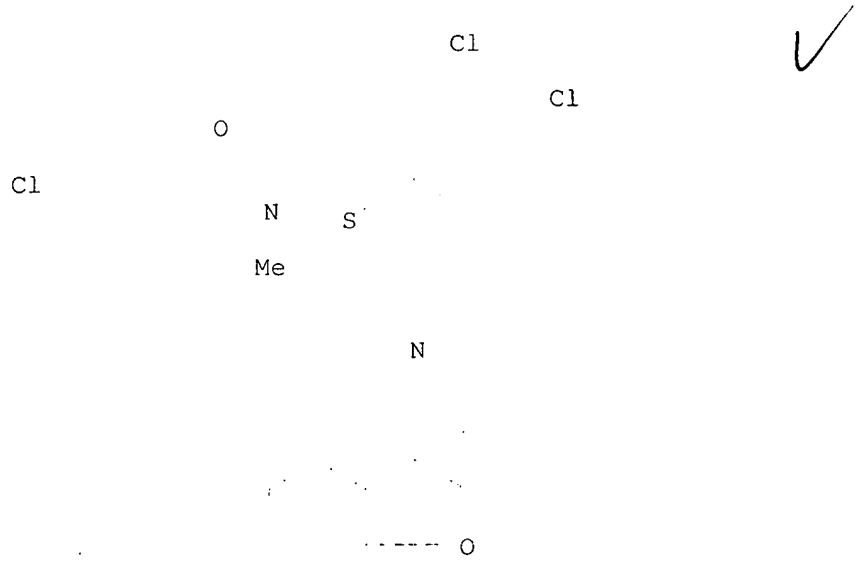
RN 167484-75-5 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-spiro[benzofuran-3(2H),4'-piperidin]-1'-ylbutyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



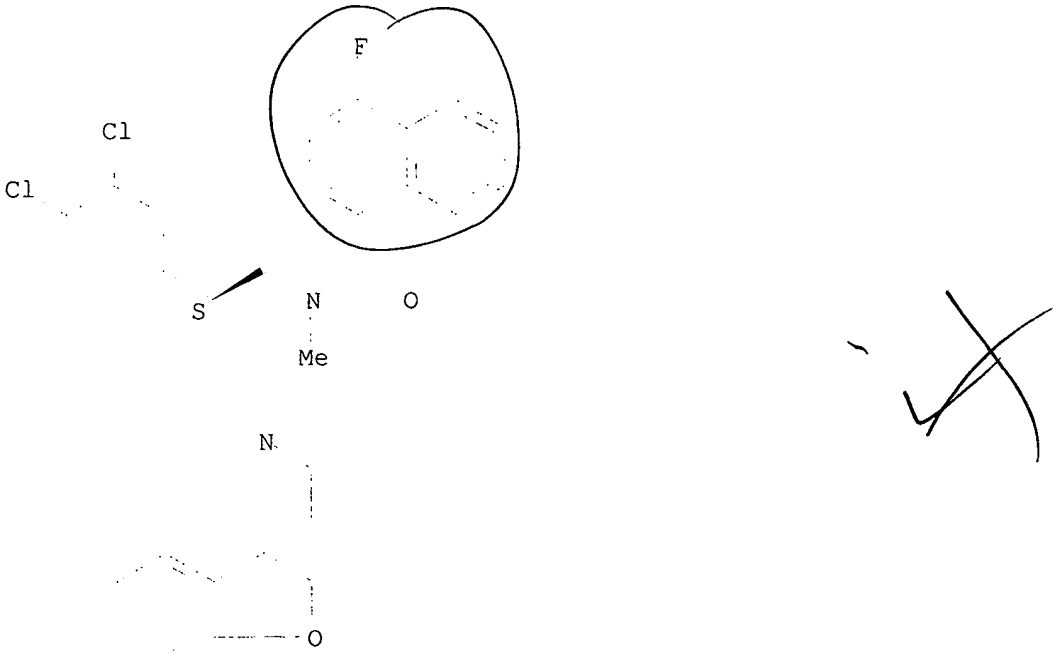
RN 167484-76-6 CAPLUS
CN Benzamide, 3-chloro-N-[(2S)-2-(3,4-dichlorophenyl)-4-spiro[benzofuran-3(2H),4'-piperidin]-1'-ylbutyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



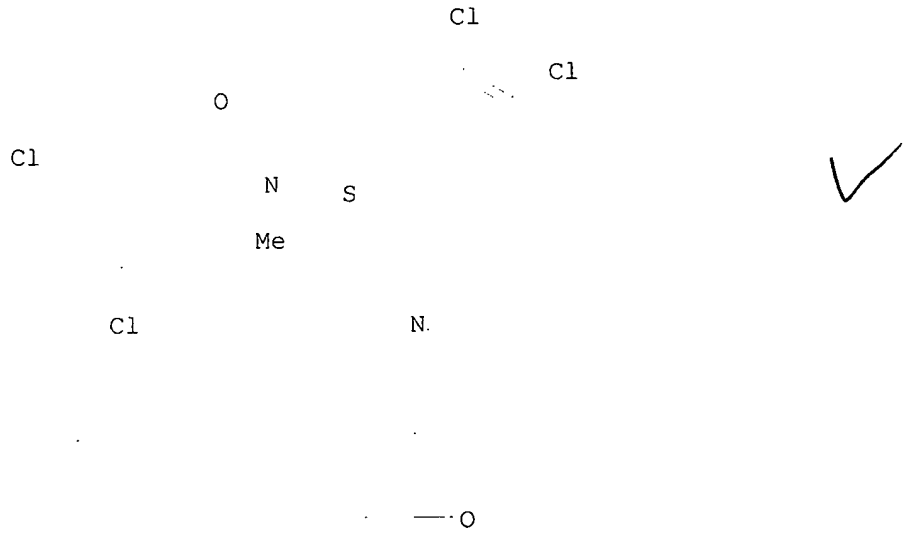
RN 167484-77-7 CAPLUS
CN 1-Naphthalenecarboxamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-spiro[benzofuran-3(2H),4'-piperidin]-1'-ylbutyl]-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



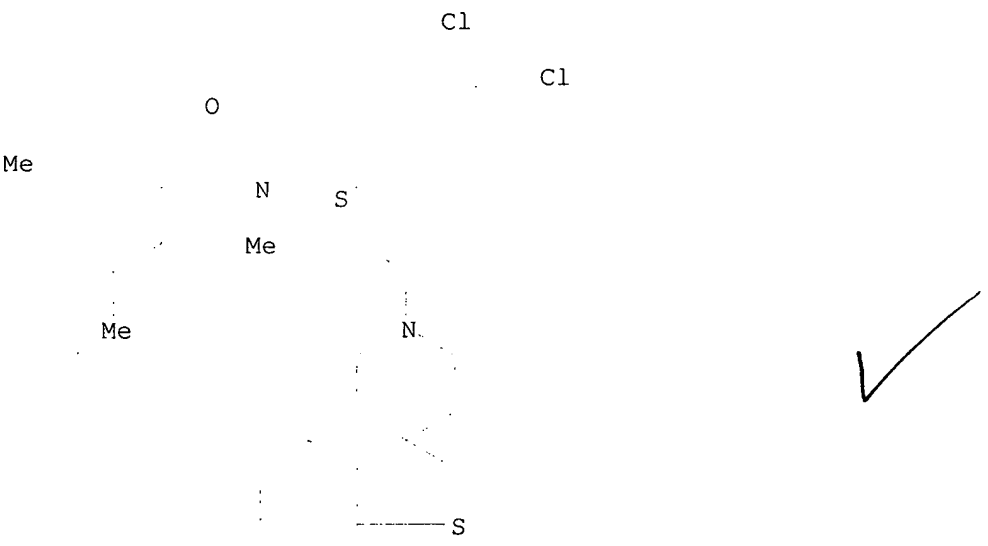
RN 167484-78-8 CAPLUS
CN Benzamide, 3,5-dichloro-N-[(2S)-2-(3,4-dichlorophenyl)-4-spiro[benzofuran-3(2H),4'-piperidin]-1'-ylbutyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



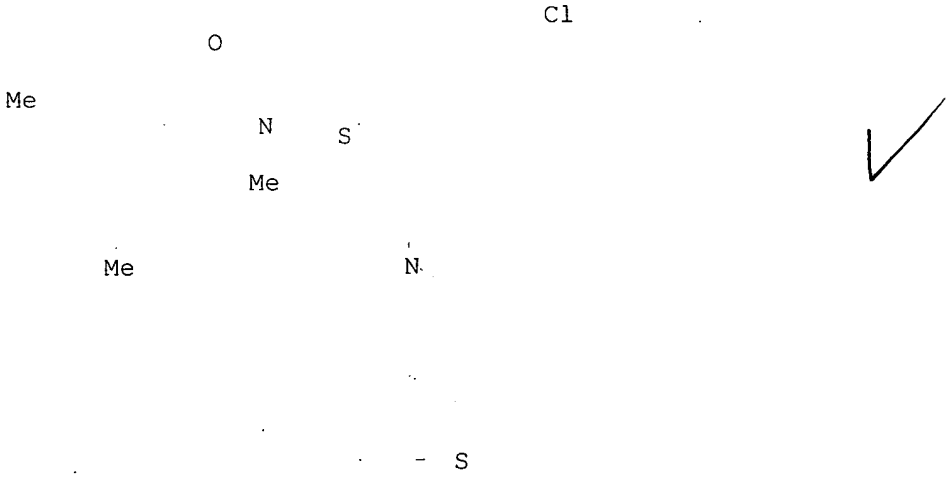
RN 167484-80-2 CAPLUS
CN Benzamide, N-[(2S)-2-(3,4-dichlorophenyl)-4-spiro[benzo[b]thiophene-3(2H),4'-piperidin]-1'-ylbutyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167484-81-3 CAPLUS
CN Benzamide, N-[(2S)-2-(4-chlorophenyl)-4-spiro[benzo[b]thiophene-3(2H),4'-piperidin]-1'-ylbutyl]-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167484-84-6 CAPLUS
CN Spiro[benzo[b]thiophene-3(2H),4'-piperidine]-1'-butanamine, β-(3,4-dichlorophenyl)-N-[(4-fluoro-1-naphthalenyl)methyl]-N-methyl-, 1-oxide, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.